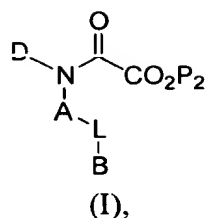


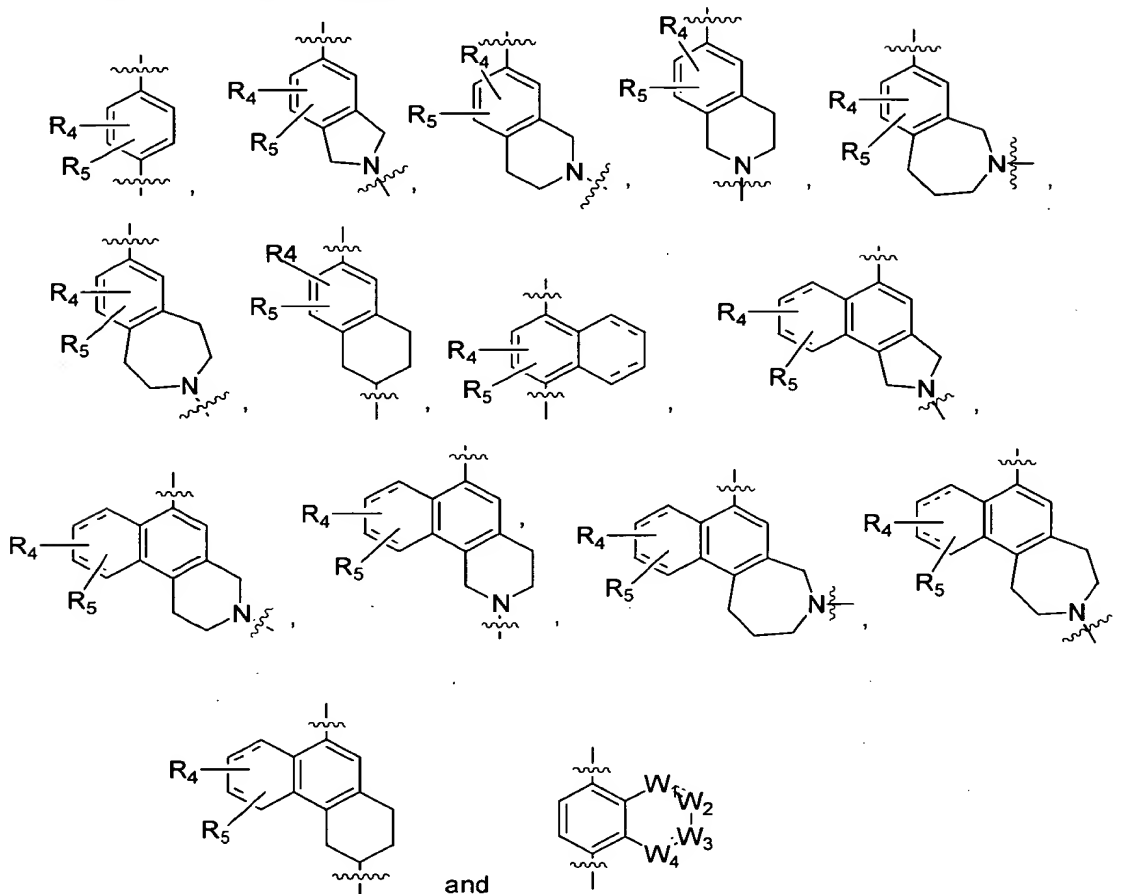
## WHAT IS CLAIMED IS

1. A compound of formula (I)



or a therapeutically acceptable salt or prodrug thereof, wherein

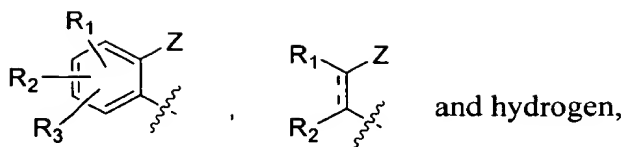
A is selected from the group consisting of



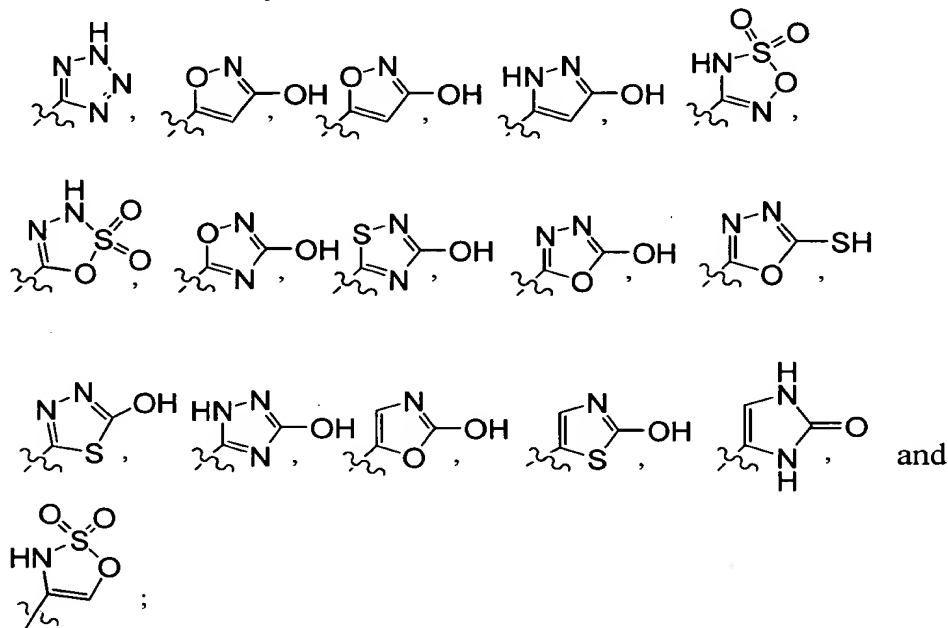
- 10 wherein the dotted line is either absent or is a single bond;

B is selected from the group consisting of hydrogen, alkyl, aryl, arylalkyl, heterocycle and heterocyclealkyl;

D is selected from the group consisting of



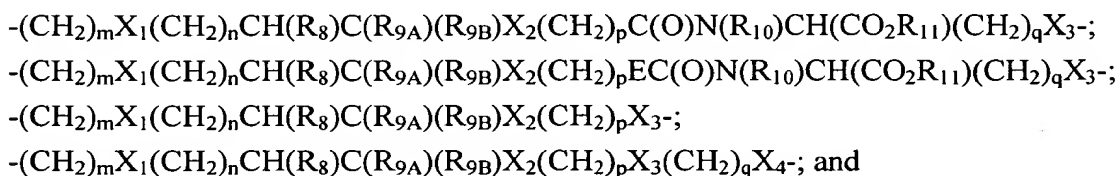
wherein Z is selected from the group consisting of alkoxy, alkyl, amino, cyano, nitro,  $\text{CO}_2\text{P}_1$ ,  $\text{SO}_3\text{H}$ ,  $\text{PO}(\text{OH})_2$ ,  $\text{CH}_2\text{PO}(\text{OH})_2$ ,  $\text{CHFPO}(\text{OH})_2$ ,  $\text{CF}_2(\text{PO}(\text{OH})_2)$ ,  $\text{C}(=\text{NH})\text{NH}_2$ , and the following 5-membered heterocycles:



wherein  $\text{P}_1$  and  $\text{P}_2$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, arylalkyl, cycloalkyl and (cycloalkyl)alkyl;

$\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_4$  and  $\text{R}_5$  are independently selected from the group consisting of hydrogen, alkoxy, alkyl, aryl, arylalkyl, cyano, halo, haloalkoxy, haloalkyl, heterocycle, heterocyclealkyl, hydroxy, hydroxyalkyl, nitro,  $\text{NR}_A\text{R}_B$ ,  $\text{NR}_A\text{R}_B\text{C}(\text{O})$ ,  $\text{NR}_A\text{R}_B\text{C}(\text{O})\text{alkyl}$  and  $\text{NR}_A\text{R}_B\text{C}(\text{O})\text{alkenyl}$ , wherein  $\text{R}_A$  and  $\text{R}_B$  are independently selected from the group consisting of hydrogen, alkyl, alkoxycarbonyl, alkylsulfonyl, aryl, arylalkylcarbonyl, arylcarbonyl, arylsulfonyl and  $(\text{R}_C\text{R}_D\text{N})\text{carbonyl}$  wherein  $\text{R}_C$  and  $\text{R}_D$  are independently selected from the group consisting of hydrogen, alkyl, aryl, and arylalkyl, or  $\text{R}_A$  and  $\text{R}_B$  taken together with the nitrogen to which they are attached form a ring selected from the group consisting of pyrrolidine, piperidine, morpholine, homopiperidine and piperazine;

L is selected from the group consisting of



$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pE(CH_2)_qX_3-$ , wherein each group is drawn with the left end attached to A and the right end attached to B;

m, n, p and q are independently between 0-4;

$R_8$  is selected from the group consisting of hydrogen, hydroxy,  $NR_AR_B$  and  $(NR_AR_B)alkyl$ ;

$R_{9A}$  and  $R_{9B}$  are independently selected from the group consisting of hydrogen, alkyl, hydroxyalkyl and  $R_ER_FNalkyl$ , wherein  $R_E$  and  $R_F$  are independently selected from the group consisting of hydrogen, alkyl, alkoxycarbonyl and alkanoyl, or  $R_{9A}$  and  $R_{9B}$  taken together are oxo;

$R_{10}$  is selected from the group consisting of hydrogen, alkyl, alkanoyl and alkoxycarbonyl;

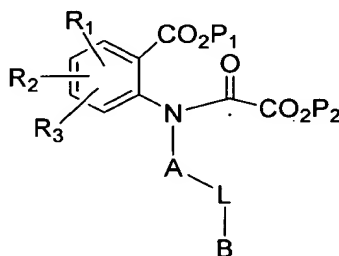
$R_{11}$  is independently selected from the group consisting of hydrogen, alkyl, alkenyl, arylalkyl, cycloalkyl, and (cycloalkyl)alkyl;

E is selected from the group consisting of aryl and cycloalkyl;

$X_1$ ,  $X_2$ ,  $X_3$ , and  $X_4$  are independently absent or are independently selected from the group consisting of  $NR_G$ , O, S, S(O) and S(O)<sub>2</sub>, wherein  $R_G$  is selected from the group consisting of hydrogen, alkyl, alkanoyl and alkoxycarbonyl; and

$W_1$ ,  $W_2$ ,  $W_3$  and  $W_4$  are independently selected from the group consisting of CH, CH<sub>2</sub>, N, NH and O.

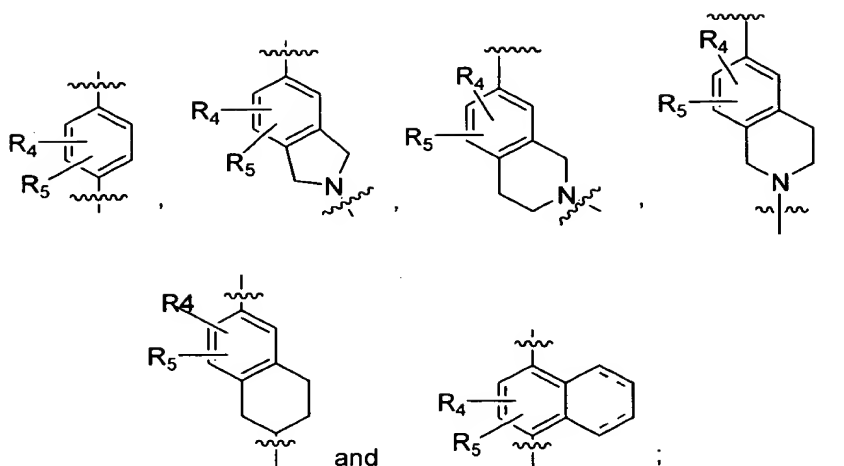
2. The compound according to claim 1 of formula (II)



(II),

or a therapeutically acceptable salt or prodrug thereof wherein A, B, L, P<sub>1</sub>, P<sub>2</sub>, R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> are defined in Claim 1.

3. The compound according to claim 2, wherein A is selected from the group consisting of



R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are independently selected from the group consisting of hydrogen, alkoxy, alkyl, cyano, halo, haloalkoxy, haloalkyl, heterocycle, hydroxy, hydroxyalkyl, nitro, NR<sub>A</sub>R<sub>B</sub>, NR<sub>A</sub>R<sub>B</sub>C(O), NR<sub>A</sub>R<sub>B</sub>C(O)alkyl and NR<sub>A</sub>R<sub>B</sub>C(O)alkenyl;

R<sub>10</sub> is selected from the group consisting of hydrogen and alkyl; and

R<sub>11</sub> is independently selected from the group consisting of hydrogen, alkyl and arylalkyl.

4. The compound according to claim 2, wherein

L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ .

5. The compound according to claim 2, wherein

L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ; and

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>.

6. The compound according to claim 2, wherein

L is

$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>; and

R<sub>9A</sub> and R<sub>9B</sub> together are oxo.

7. The compound according to claim 2, wherein

L is

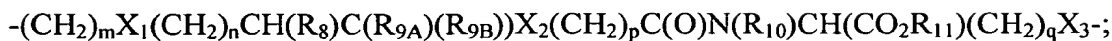
$-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pC(O)N(R_{10})CH(CO_2R_{11})(CH_2)_qX_3-$ ;

R<sub>8</sub> is NR<sub>A</sub>R<sub>B</sub>;

$R_{9A}$  and  $R_{9B}$  together are oxo; and  
 $X_2$  is  $NR_C$ .

8. The compound according to claim 2, wherein

L is



$R_8$  is  $NR_A R_B$ ;

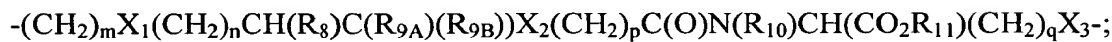
$R_{9A}$  and  $R_{9B}$  together are oxo;

$X_2$  is  $NR_C$ ; and

B is selected from the group consisting of aryl and heterocycle.

9. The compound according to claim 2, wherein

L is



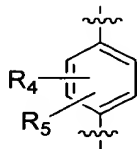
$R_8$  is  $NR_A R_B$ ;

$R_{9A}$  and  $R_{9B}$  together are oxo;

$X_2$  is  $NR_C$ ;

B is selected from the group consisting of aryl and heterocycle; and

A is

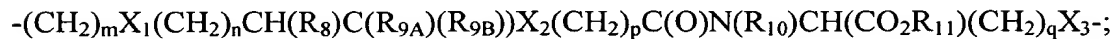


10. The compound according to claim 9, which is

*N*-[5-({*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl}amino)pentanoyl]-*L*-tyrosine.

11. The compound according to claim 2, wherein

L is



$R_8$  is  $NR_A R_B$ ;

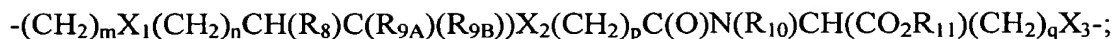
$R_{9A}$  and  $R_{9B}$  together are oxo;

$X_2$  is  $NR_C$ ; and

B is hydrogen.

12. The compound according to claim 2, wherein

L is



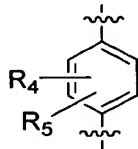
$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

B is hydrogen; and

A is



13. The compound according to claim 12, which is

N-[5-({N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl}amino)pentanoyl]-L-norleucine.

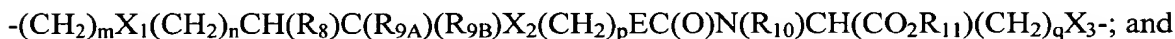
14. The compound according to claim 2, wherein

L is



15. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ .

16. The compound according to claim 2, wherein

L is

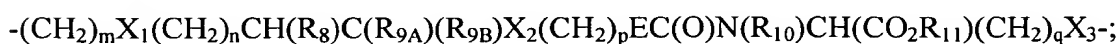


$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ; and

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo.

17. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo; and

$\text{X}_2$  is  $\text{NR}_C$ .

18. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ; and

B is hydrogen.

19. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

B is hydrogen; and

E is cycloalkyl.

20. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

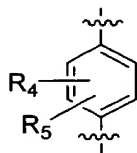
$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

B is hydrogen;

E is cycloalkyl; and

A is

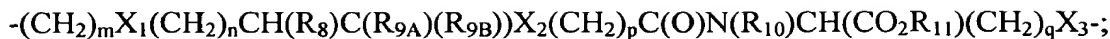


21. The compound according to claim 20, which is

N-{[4-({[N-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-(2-hydroxyethyl)phenylalanyl]amino}methyl)cyclohexyl]carbonyl}-L-norleucine.

22. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

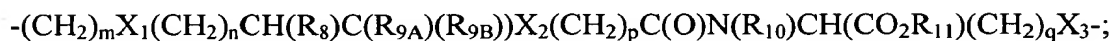
$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is S; and

B is alkyl.

23. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

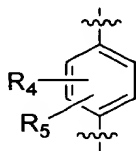
$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is S;

B is alkyl; and

A is



24. The compound according to claim 23, selected from the group consisting of  
*N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methionine;

methyl *N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methioninate;

*N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-*S*-ethyl-L-homocysteine;

*N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-isopropylphenylalanyl)amino]pentanoyl}-L-methionine;

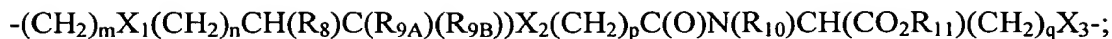
*N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxy-5-chlorophenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-L-methionine; and

*N*-(5-{[*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-(2-hydroxyethyl)phenylalanyl]amino}pentanoyl)-L-methionine.

25. The compound according to claim 2, wherein



L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

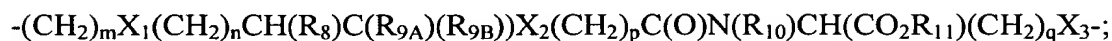
$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is S; and

B is aryl.

26. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

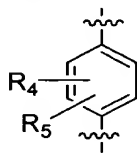
$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is S;

B is aryl; and

A is

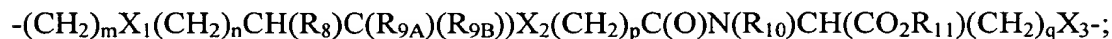


27. The compound according to claim 26, which is

*N*-{5-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenylalanyl)amino]pentanoyl}-*S*-benzyl-L-cysteine.

28. The compound according to claim 2, wherein

L is



$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

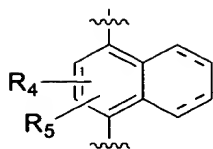
$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is S;

B is alkyl; and

A is

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29. The compound according to claim 28, which is  
*N*-(5-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)-*N*-  
 (methoxycarbonyl)alanyl]amino}pentanoyl)-*L*-methionine.

30. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ .

31. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ; and  
 $\text{R}_8$  is  $\text{NR}_A\text{R}_B$ .

32. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ; and  
 $\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo.

33. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;  
 $\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo; and  
 $\text{X}_2$  is  $\text{NR}_C$ .

34. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;  
 $\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_C$ ; and  
 $\text{X}_3$  is O.

35. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

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$R_{9A}$  and  $R_{9B}$  together are oxo;

$X_2$  is  $NR_C$ ;

$X_3$  is O; and

B is aryl.

5

36. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

$R_8$  is  $NR_AR_B$ ;

$R_{9A}$  and  $R_{9B}$  together are oxo;

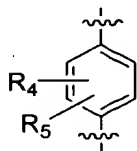
10

$X_2$  is  $NR_C$ ;

$X_3$  is O;

B is aryl; and

A is



15

37. The compound according to claim 36, selected from the group consisting of  
methyl 2-[4-({*N*-[(allyloxy)carbonyl]-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-  
L-phenylalanyl}amino)butoxy]-6-hydroxybenzoate;

20

methyl 2-{4-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-  
ethylphenylalanyl)amino]butoxy}-6-hydroxybenzoate;

methyl 4-{4-[(*N*-acetyl-4-amino-3-ethylphenylalanyl)amino]butoxy}-2-hydroxy-1,1'-  
biphenyl-3-carboxylate;

2-[4-({*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-  
ethylphenylalanyl}amino)butoxy]-6-hydroxybenzoic acid;

25

methyl 6-{4-[(*N*-acetyl-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-  
ethylphenylalanyl)amino]butoxy}-3-bromo-2-hydroxybenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-  
L-phenylalanyl]amino}butoxy)-6-hydroxy-4-pentylbenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-  
L-phenylalanyl]amino}butoxy)-6-hydroxy-4-methoxybenzoate;

30

methyl 3-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-  
L-phenylalanyl]amino}butoxy)-5-hydroxy-1,1'-biphenyl-4-carboxylate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-  
L-phenylalanyl]amino}butoxy)-6-hydroxy-4-methylbenzoate;

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methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-4-chloro-6-hydroxybenzoate;

methyl 2-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-6-hydroxybenzoate;

4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(4-[2-(aminocarbonyl)-3-hydroxyphenoxy]butyl)-*N*-(methoxycarbonyl)-L-phenylalaninamide;

methyl 3-(4-{[4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-L-phenylalanyl]amino}butoxy)-1-hydroxy-2-naphthoate;

4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(4-{3-hydroxy-2-[(methylamino)carbonyl]phenoxy}butyl)-*N*-(methoxycarbonyl)-L-phenylalaninamide;

4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(4-{2-[(ethylamino)carbonyl]-3-hydroxyphenoxy}butyl)-*N*-(methoxycarbonyl)-L-phenylalaninamide;

*N*-(4-[2-(acetilamino)-3-hydroxyphenoxy]butyl)-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(methoxycarbonyl)-L-phenylalaninamide; and

4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-*N*-(4-{2-[(dimethylamino)carbonyl]-3-hydroxyphenoxy}butyl)-*N*-(methoxycarbonyl)-L-phenylalaninamide.

38. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9A})(\text{R}_{9B})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;

$\text{R}_8$  is  $\text{NR}_A\text{R}_B$ ;

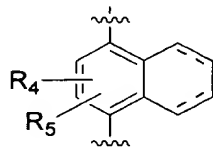
$\text{R}_{9A}$  and  $\text{R}_{9B}$  together are oxo;

$\text{X}_2$  is  $\text{NR}_C$ ;

$\text{X}_3$  is O;

B is aryl; and

A is



39. The compound according to claim 38, selected from the group consisting of methyl 2-[(5-{[*N*-acetyl-3-(4-amino-1-naphthyl)-L-alanyl]amino}pentyl)oxy]-6-hydroxy-4-methylbenzoate; and

3-[(5-{[*N*-acetyl-3-{4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl}-L-alanyl]amino}pentyl)oxy]-2-naphthoic acid.

40. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ; and  
 $\text{R}_8$  is hydrogen.

41. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ;  
 $\text{R}_8$  is hydrogen; and  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo.

42. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo; and  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ .

43. The compound according to claim 2, wherein

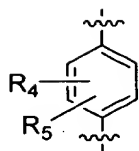
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ; and  
 $\text{X}_3$  is O.

44. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ;  
 $\text{X}_3$  is O; and  
B is aryl.

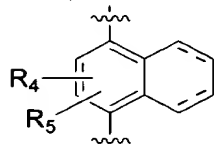
45. The compound according to claim 2, wherein

L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3^-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ;  
 $\text{X}_3$  is O; and  
B is aryl; and  
A is



46. The compound according to claim 45, which is  
methyl 2-(4-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-3-ethylphenyl)propanoyl]amino}butoxy)-6-hydroxybenzoate.

47. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 $R_8$  is hydrogen;  
 $R_{9A}$  and  $R_{9B}$  together are oxo;  
 $X_2$  is  $NR_C$ ;  
 $X_3$  is O;  
B is aryl; and  
A is



48. The compound according to claim 47, which is  
2-((carboxycarbonyl){4-[3-(4-[3-hydroxy-2-(methoxycarbonyl)phenoxy]butyl)amino]-3-oxopropyl]-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl}amino)benzoic acid.

49. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 $R_8$  is hydrogen; and  
 $R_{9A}$  is alkyl.

50. The compound according to claim 2, wherein  
L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;  
 $R_8$  is hydrogen;  
 $R_{9A}$  is alkyl; and  
 $X_2$  is  $NR_C$ .

51. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

$R_8$  is hydrogen;

$R_{9A}$  is alkyl;

$X_2$  is  $NR_C$ ; and

$X_3$  is O.

52. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

$R_8$  is hydrogen;

$R_{9A}$  is alkyl;

$X_2$  is  $NR_C$ ;

$X_3$  is O; and

B is aryl.

53. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

$R_8$  is hydrogen;

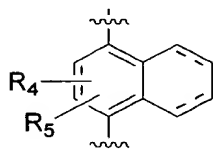
$R_{9A}$  is alkyl;

$X_2$  is  $NR_C$ ;

$X_3$  is O;

B is aryl; and

A is



54. The compound according to claim 53, which is  
methyl 2-(4-{[3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)-1-methylpropyl]amino}butoxy)-6-hydroxybenzoate.

55. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3-$ ;

$R_8$  is hydrogen; and

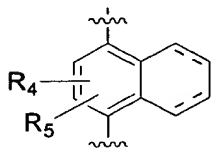
$R_{9A}$  and  $R_{9B}$  are both hydrogen.

56. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  are both hydrogen; and  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ .

57. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  are both hydrogen;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ; and  
 $\text{X}_3$  is O.

58. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  are both hydrogen;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ;  
 $\text{X}_3$  is O; and  
 B is aryl.

59. The compound according to claim 2, wherein  
 L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3-$ ;  
 $\text{R}_8$  is hydrogen;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  are both hydrogen;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ;  
 $\text{X}_3$  is O;  
 B is aryl; and  
 A is



60. The compound according to claim 59, which is  
 methyl 2-(4-{{3-(4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-1-naphthyl)propyl}amino}butoxy)-6-hydroxybenzoate.



61. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ .
- 5 62. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ; and  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ .
- 10 63. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ;  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ ; and  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo.
- 15 64. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ;  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ ;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo; and  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ .
- 20 65. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ;  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ ;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ; and  
25  $\text{X}_3$  is O.
- 30 66. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ;  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ ;  
 $\text{R}_{9\text{A}}$  and  $\text{R}_{9\text{B}}$  together are oxo;  
 $\text{X}_2$  is  $\text{NR}_\text{C}$ ;  
 $\text{X}_3$  is O; and  
 $\text{X}_4$  is O.
- 35 67. The compound according to claim 2, wherein  
L is  $-(\text{CH}_2)_m\text{X}_1(\text{CH}_2)_n\text{CH}(\text{R}_8)\text{C}(\text{R}_{9\text{A}})(\text{R}_{9\text{B}})\text{X}_2(\text{CH}_2)_p\text{X}_3(\text{CH}_2)_q\text{X}_4^-$ ;  
 $\text{R}_8$  is  $\text{NR}_\text{A}\text{R}_\text{B}$ ;

$R_{9A}$  and  $R_{9B}$  together are oxo;

$X_2$  is  $NR_C$ ;

$X_3$  is O;

$X_4$  is O; and

B is aryl.

68. The compound according to claim 2, wherein

L is  $-(CH_2)_mX_1(CH_2)_nCH(R_8)C(R_{9A})(R_{9B})X_2(CH_2)_pX_3(CH_2)_qX_4-$ ;

$R_8$  is  $NR_AR_B$ ;

$R_{9A}$  and  $R_{9B}$  together are oxo;

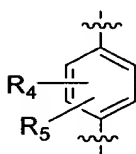
$X_2$  is  $NR_C$ ;

$X_3$  is O;

$X_4$  is O;

B is aryl; and

A is



69. The compound according to claim 68, which is

methyl 2-{2-[2-({*N*-[(allyloxy)carbonyl]-4-[(carboxycarbonyl)(2-carboxyphenyl)amino]-L-phenylalanyl}amino)ethoxy]ethoxy}-6-hydroxybenzoate;

70. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a pharmaceutically acceptable carrier.

71. A method of method of selectively inhibiting protein tyrosine phosphatase 1B comprising administering a therapeutically effective amount of a compound of claim 1.

72. A method of treating disorders caused by overexpressed or altered protein tyrosine phosphatase 1B comprising administering a therapeutically effective amount of a compound of claim 1.

73. The method of claim 72, wherein the disorder is type I and type II diabetes.

74. The method of claim 72, wherein the disorder is obesity.

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75. A method of claim 72, wherein the disorder is autoimmune disorders, acute and chronic inflammatory disorders, osteoporosis, cancer, malignant disorders.

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